(19) World Intellectual Property Organization International Bureau





(43) International Publication Date 11 August 2005 (11.08.2005)

PCT

(10) International Publication Number WO 2005/072530 A1

- (51) International Patent Classification⁷: A01N 43/58, 43/60, A61K 31/495, 31/50, C07D 487/00, 491/00, 495/00, 497/00
- (21) International Application Number:

PCT/US2005/000951

- (22) International Filing Date: 12 January 2005 (12.01.2005)
- (25) Filing Language:

English

(26) Publication Language:

English

(30) Priority Data:

60/537,073

16 January 2004 (16.01.2004) US

- (71) Applicant (for all designated States except US): MERCK & CO., INC. [US/US]; 126 East Lincoln Avenue, Rahway, NJ 07065-0907 (US).
- (72) Inventors; and
- (75) Inventors/Applicants (for US only): FERLITA, Russell, R. [US/US]; 126 East Lincoln Avenue, Rahway, NJ 07065-0907 (US). HANSEN, Karl [US/US]; 126 East Lincoln Avenue, Rahway, NJ 07065-0907 (US). VYDRA, Vicky, K. [US/US]; 126 East Lincoln Avenue, Rahway, NJ 07065-0907 (US). WANG, Yaling [CN/US]; 126 East Lincoln Avenue, Rahway, NJ 07065-0907 (US). LINDEMANN, Christopher, M. [US/US]; 126 East Lincoln Avenue, Rahway, NJ 07065-0907 (US).

- (74) Common Representative: MERCK & CO., INC.; 126 East Lincoln Avenue, Rahway, NJ 07065-0907 (US).
- (81) Designated States (unless otherwise indicated, for every kind of national protection available): AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW.
- (84) Designated States (unless otherwise indicated, for every kind of regional protection available): ARIPO (BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW), Eurasian (AM, AZ, BY, KG, KZ, MD, RU, TJ, TM), European (AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR), OAPI (BF, BJ, CF, CG, CI, CM, GA, GN, GO, GW, ML, MR, NE, SN, TD, TG).

Published:

- with international search report
- before the expiration of the time limit for amending the claims and to be republished in the event of receipt of amendments –

For two-letter codes and other abbreviations, refer to the "Guidance Notes on Codes and Abbreviations" appearing at the beginning of each regular issue of the PCT Gazette.

(54) Title: NOVEL CRYSTALLINE SALTS OF A DIPEPTIDYL PEPTIDASE-IV INHIBITOR

(57) Abstract: Novel crystalline salts of (2R)-4-oxo-4-[3-(trifluoromethyl)-5,6-dihydro[1,2,4]triazolo[4,3-a]pyrazin-7(8H)-yl]-1-(2,4,5-trifluorophenyl)butan-2-&agr; amine are potent inhibitors of dipeptidyl peptidase-IV and are useful for the treatment of noninsulin dependent (Type 2) diabetes mellitus. The invention also relates to pharmaceutical compositions containing these novel salts, processes to prepare these salts and their pharmaceutical compositions as well as uses thereof for the treatment of Type 2 diabetes.